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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Susanna Moore Examiner #: 82304 Date: 9/15/2006

Art Unit: 1624 Phone Number: 2-9046 Serial Number: 10/822975

Location (Bldg/Room#): Rem 5831 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

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MG

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

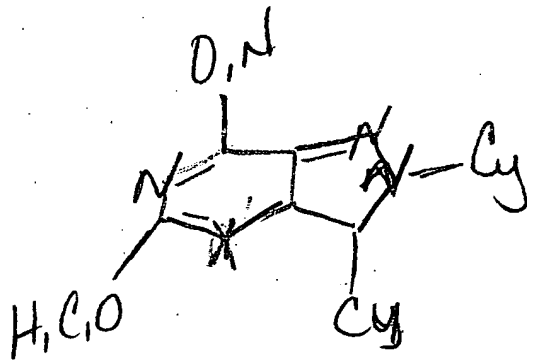
_____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



X = C or N

Cy = aryl or heteroaryl

(1) SEARCH STRUCTURE

(2) INVENTOR SEARCH

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                 SEL RN

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L3               STR
L4            17 S L3
L5               STR L3
L6            12 S L5
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L8           109 S L7 AND L2
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L12          480 S HAMMOND M?/AU
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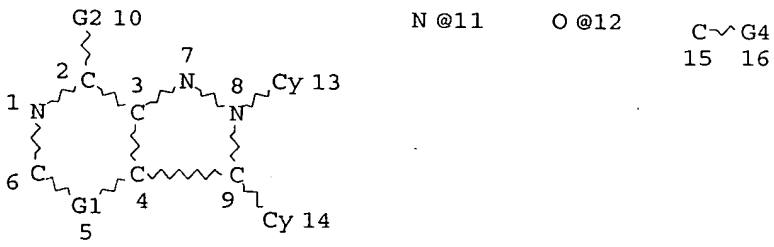


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STEREO ATTRIBUTES: NONE
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L13  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:905618  HCAPLUS
DN   141:379938
ED   Entered STN:  29 Oct 2004
TI   Preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-
     c]pyridine compounds as cannabinoid receptor ligands
IN   Griffith, David A.; Hammond, Marlys
PA   Pfizer Inc., USA
SO   U.S. Pat. Appl. Publ., 76 pp.
     CODEN: USXXCO
DT   Patent
LA   English
IC   ICM  C07D471-02
     ICS  A61K031-519; A61K031-4745
INCL 514262100; 514303000; 544262000; 546118000; 514252160; 514253040
CC   28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1, 63
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     CA 2520842           AA     20041111    CA 2004-2520842
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     WO 2004096801        A1     20041111    WO 2004-IB1418
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             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
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PRAI	US 2003-464918P	P	20030423		
	US 2004-540048P	P	20040129		
	WO 2004-IB1418	W	20040420		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004214837	ICM	C07D471-02
	ICS	A61K031-519; A61K031-4745
	INCL	514262100; 514303000; 544262000; 546118000; 514252160; 514253040
	IPCI	C07D0471-02 [ICM,7]; C07D0471-00 [ICM,7,C*]; A61K0031-519 [ICS,7]; A61K0031-4745 [ICS,7]; A61K0031-4738 [ICS,7,C*]
	IPCR	A61K0031-4738 [I,C*]; A61K0031-4745 [I,A]; A61K0031-519 [I,A]; A61K0031-519 [I,C*]; C07D0471-00 [I,C*]; C07D0471-02 [I,A]
	NCL	514/262.100; 514/252.160; 514/253.040; 514/303.000; 544/262.000; 546/118.000
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WO 2004096801	IPCI	C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; C07D0487-04 [ICS,7]; C07D0487-00 [ICS,7,C*]; C07D0519-00 [ICS,7]; A61P0003-04 [ICS,7]; A61P0003-00 [ICS,7,C*]
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OS MARPAT 141:379938

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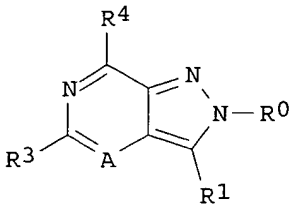

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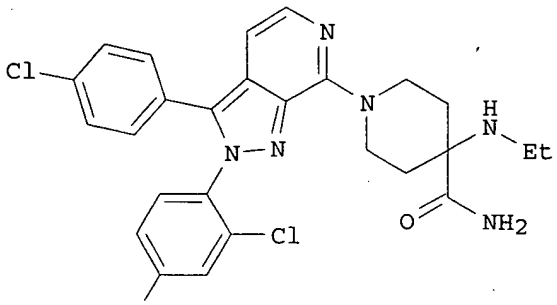

II

AB The title compds. I [A = N, CR2 (wherein R2 = H, alkyl, haloalkyl, alkoxy); R0, R1 = (un)substituted (hetero)aryl; R3 = H, alkyl, haloalkyl, alkoxy; R4 = (un)substituted pyrrolidino, piperidino, piperazino, etc.] that act as cannabinoid receptor ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared Thus, reacting 7-chloro-3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridine with 4-ethylaminopiperidine-4-carboxylic acid amide (prepns. given) afforded 78% II. All the exemplified compds. (over 190) were tested in the CB-1 receptor binding assay and showed a range of binding activities from 0.2 nM to 1.6 μM. The pharmaceutical composition comprising the compound I is claimed.

ST pyrazolopyrimidine prepn cannabinoid receptor CB1 ligand; pyrazolopyridine prepn cannabinoid receptor CB1 ligand

IT Apolipoproteins
(B, apo-B/MTP inhibitors as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Cholecystokinin receptors
(CCKA, CCK-A agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Histamine receptors
(H3, histamine 3 receptor antagonist or inverse agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT MSH receptors
(MSH receptor analog as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Proteins
(agouti-related, human agouti-related protein antagonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT Mental and behavioral disorders
(attention deficit disorder; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Mental and behavioral disorders
(bipolar disorder; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Bombesin receptors
(bombesin agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Ciliary neurotrophic factor
(ciliary neurotrophic factor as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT Opioid antagonists
(co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Mental and behavioral disorders
(dementia; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Mental and behavioral disorders
(depression; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Dopamine agonists
(dopamine agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Dopamine receptors
(dopaminergic agents as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Galanin receptors
(galanin antagonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Growth hormone secretagogue receptors
(ghrelin receptor antagonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT Glucagon-like peptide-1 receptors
(glucagon-like peptide-1 receptor agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT Glucocorticoid receptors
(glucocorticoid receptor antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Leptin receptors
(leptin receptor agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Body weight
(loss; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Pituitary hormone receptors
(melanocortin receptor 4, MCR-4 agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Transport proteins
(monoamine transporter, monoamine reuptake inhibitors as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Neuropeptide Y receptors
(neuropeptide-Y receptor antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Nicotinic receptors
(nicotine receptor partial agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Diabetes mellitus
(non-insulin-dependent; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Hypothalamic hormone receptors
(orexin receptor, orexin receptor antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Human
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor ligands)

IT Alcoholism
Anti-inflammatory agents
Anticonvulsants
Antidepressants
Antidiabetic agents
Antiobesity agents
Antiparkinsonian agents
Antipsychotics
Bulimia
Digestive tract, disease

Epilepsy
Gastrointestinal agents
Inflammation
Obesity
Parkinson's disease
Schizophrenia
Seizures
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Mental and behavioral disorders
(psychosis; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Adrenoceptor agonists
(sympathomimetic agents as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Thyroid hormones
(thyromimetic agents as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Drug dependence
(treating behavioral addiction; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT Tobacco smoke
(treating tobacco abuse; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)

IT 5-HT receptors
(type 5-HT2C, 5-HT2c receptor agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Cannabinoid receptors
(type CB1; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor ligands)

IT Adrenoceptor agonists
(β3-, β3 adrenergic receptor agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT 9041-46-7
(11β-hydroxy steroid dehydrogenase-1 inhibitors as inhibitors; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT 53-43-0, Dehydroepiandrosterone
(dehydroepiandrosterone or analog as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds.

for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT 169494-85-3, Leptin
(leptin or its analog as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT 9001-62-1, Lipase
(lipase inhibitors as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT 67382-96-1, Melanin-concentrating hormone
(melanin concentrating hormone antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT 111745-44-9, Neuromedin U
(neuromedin U receptor agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT 126339-09-1, Peptide YY3-36
(peptide YY3-36 or an analog thereof as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT 784207-12-1P 784208-08-8P 784208-41-9P
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT 784206-58-2P 784206-59-3P 784206-60-6P 784206-61-7P
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784208-74-8P 784208-75-9P 784208-76-0P 784208-77-1P
784208-78-2P
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT 67-63-0, 2-Propanol, reactions 95-92-1, Diethyl oxalate 99-91-2, 1-(4-Chlorophenyl)ethanone 110-89-4, Piperidine, reactions 120-92-3, Cyclopentanone 123-75-1, Pyrrolidine, reactions 775-15-5, 1-Benzylpyrrolidin-3-ol 3612-20-2 6656-60-6, 2-Oxopropyl benzoate 7461-49-6, Propionamide hydrochloride 18621-17-5, 1-Benzhydrylazetidin-3-ol 41052-75-9, 2-Chlorophenylhydrazine hydrochloride 61190-10-1 80387-17-3, 2-Oxobutyl benzoate 158941-07-2
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT 1024-16-4P 1027-91-4P 33420-52-9P 40320-60-3P 84100-54-9P 138650-21-2P 569679-56-7P 686344-58-1P 686344-61-6P 686344-67-2P 686344-68-3P 686344-69-4P 686344-70-7P 686344-71-8P 686344-72-9P 736994-08-4P 736994-10-8P 784192-14-9P 784193-05-1P 784193-06-2P 784193-07-3P 784193-08-4P 784193-10-8P 784193-12-0P 784193-13-1P 784193-14-2P 784193-15-3P 784193-16-4P 784193-17-5P 784208-55-5P 784208-56-6P
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

L13 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:425418 HCAPLUS
DN 137:6086
ED Entered STN: 06 Jun 2002
TI Preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists
IN Elliott, Richard L.; **Griffith, David A.**; **Hammond, Marlys**
PA Pfizer Inc., USA

SO U.S., 46 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-4375
ICS A61K031-4439; C07D215-20
INCL 514314000
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6399631	B1	20020604	US 2000-620315	20000721
PRAI	US 1999-145304P	P	19990723		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6399631	ICM	A61K031-4375
	ICS	A61K031-4439; C07D215-20
	INCL	514314000
	IPCI	A61K0031-4375 [ICM,7]; A61K0031-4353 [ICM,7,C*]; A61K0031-4439 [ICS,7]; A61K0031-4427 [ICS,7,C*]; C07D0215-20 [ICS,7]; C07D0215-00 [ICS,7,C*]
	IPCR	C07D0209-00 [I,C*]; C07D0209-88 [I,A]; C07D0401-00 [I,C*]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]
	NCL	514/314.000; 514/323.000; 514/411.000; 546/175.000; 546/200.000; 548/444.000
	ECLA	C07D209/88; C07D401/12+213+209; C07D401/12+211+209; C07D401/12+217+209; C07D401/12+215+209; C07D401/14+211+211+209; C07D401/14+213+213+209; C07D401/14+215+215+209; C07D403/12+231+209; C07D417/12+277B+213+209

OS MARPAT 137:6086
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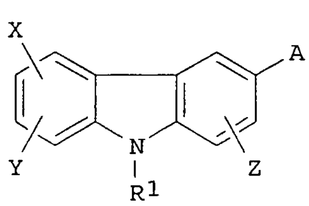

I

AB Title compds. I [X, Y, Z = H, halo, OH, NO2, CN, alkyl, alkoxy, amino, alkylamino, etc.; R1 = alkyl, alkylaryl, alkenyl, (cyclo)alkyl, mono/polyfluoroalkyl; A = NR2CO, NR2SO2; R2 = H, alkyl, alkylaryl, alkenyl, etc.] were prepared For instance, 3-amino-9-ethylcarbazole and 4-(dimethylamino)butyric acid were coupled (CH2Cl2, EDC, Et3N, DMAP, 19 h) to give I (X, Y, Z = H; R1 = Et; A = NHCOCH2CH2CH2N(CH3)2; II). II had Ki < 1 μM for the

neuropeptide Y-5 (NPY-5) receptor. I are useful in treating conditions associated with NPY-5 neurotransmission, e.g., obesity.

ST carbazole neuropeptide y5 antagonist prepn

IT Antiobesity agents
Canis familiaris
Felis catus
Human
Neurotransmission
(preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

IT 82785-45-3, Neuropeptide Y
(inhibitor; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

IT 22130-02-5P, 9-Isopropyl-3-nitro-9H-carbazole 57102-98-4P, 9-Ethyl-9H-carbazole-3-carboxylic acid 322722-89-4P 322723-06-8P, [[9-Ethyl-9H-carbazol-3-ylcarbamoyl]methyl]carbamic acid tert-butyl ester 322725-14-4P, 2-Amino-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-74-3P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-aminoethyl]amide 432505-77-6P 432505-79-8P
(intermediate; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

IT 78-84-2, Isobutyraldehyde 91-21-4, 1,2,3,4-Tetrahydroisoquinoline 100-46-9, Benzylamine, reactions 132-32-1, 3-Amino-9-ethylcarbazole 693-11-8, 4-[Dimethylamino]butyric acid 1484-09-9, 9-Isopropyl-9H-carbazole 4152-09-4, N-Benzyl-1,2-ethanediamine 4530-20-5, tert-Butoxycarbonylaminoacetic acid 7570-45-8, 9-Ethyl-9H-carbazole-3-carboxaldehyde 10200-59-6, Thiazole-2-carboxaldehyde 19653-33-9, Ethyl 3-piperidinepropionate 39512-49-7, 4-[4-Chlorophenyl]-4-hydroxypiperidine 123750-60-7, (R)-Glycidyl p-nitrobenzenesulfonate 430457-66-2, N-[9-Ethyl-9H-carbazol-6-yl]-2,2,2-trifluoroethaneamide 432505-62-9, [3-[[9-Ethyl-9H-carbazol-3-ylcarbamoyl]methyl]-3-azabicyclo[3.1.0]hex-6-yl]carbamic acid tert-butyl ester 432505-64-1, 2-[6-Amino-3-azabicyclo[3.1.0]hex-3-yl]-N-[9-ethyl-9H-carbazol-3-yl]acetamide hydrochloride
(reactant; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

IT 432505-70-9P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-3-ylacetamide 432505-73-2P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-benzylaminoethyl]amide
(target drug, intermediate; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

IT 432505-55-0P, 4-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]butyramide 432505-59-4P, 3-Bromo-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432505-65-2P, N-[3-Bromo-9-ethyl-9H-carbazol-6-yl]-2,2,2-trifluoroethaneamide 432505-66-3P
(target drug; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

IT 318-11-6P, N-[9-Methyl-9H-carbazol-3-yl]-2,2,2-trifluoroethaneamide 322723-18-2P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[1,2,4]triazol-1-ylacetamide 322723-51-3P, 3-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]propionamide 322723-92-2P, 2-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]acetamide 322724-18-5P, N-[9-Ethyl-9H-carbazol-3-yl]methanesulfonamide 322725-17-7P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-methylpropionamide 332168-89-5P, N-[9-Ethyl-9H-carbazol-3-yl]-2-fluorobenzamide 432505-56-1P, N-[9-Ethyl-9H-carbazol-3-yl]-3-piperidin-1-ylpropionamide hydrochloride 432505-57-2P, N-[9-Isopropyl-9H-carbazol-3-yl]-

2,2,2-trifluoroethaneamide 432505-58-3P, 3-[4-[4-Chlorophenyl]-4-hydroxypiperidin-1-yl]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432505-60-7P, 4-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]-N-methylbutyramide 432505-61-8P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[6-amino-3-azabicyclo[3.1.0]hex-3-yl]acetamide 432505-63-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[6-isobutylamino-3-azabicyclo[3.1.0]hex-3-yl]acetamide dihydrochloride 432505-67-4P 432505-68-5P, N-[6-[3,4-Dihydro-1H-isoquinolin-2-ylmethyl]-9-ethyl-9H-carbazol-3-yl]-2,2,2-trifluoroethaneamide hydrochloride 432505-69-6P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-3-yl-N-methylacetamide 432505-71-0P, 9-Ethyl-9H-carbazole-3-carboxylic acid benzylamide 432505-72-1P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[[thiazol-2-ylmethyl]amino]ethyl]amide 432505-75-4P, 2-[[(2S)-3-(Diethylamino)-2-(hydroxy)propan-1-yl]amino]-N-[9-ethyl-9H-carbazol-3-yl]acetamide dihydrochloride 432505-76-5P, N-[6-tert-Butyl-9-ethyl-9H-carbazol-3-yl]-2-[[(2S)-3-diethylamino-2-hydroxypropyl]amino]acetamide 432505-80-1P, 3-Diethylamino-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432505-81-2P 432505-82-3P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-2-ylacetamide 432505-83-4P, N-[9-Ethyl-9H-carbazol-3-yl]isonicotinamide 432505-84-5P 432505-85-6P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-4-ylacetamide 432505-86-7P, N-[9-Ethyl-9H-carbazol-3-yl]-2-piperidin-1-ylacetamide 432505-87-8P, N-[9-Ethyl-9H-carbazol-3-yl]-3-morpholin-4-ylpropionamide 432505-88-9P, N-[9-Ethyl-9H-carbazol-3-yl]-3-piperidin-1-ylpropionamide 432505-89-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2,2-diphenylacetamide 432505-90-3P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-methylbutyramide 432505-91-4P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-phenylpropionamide 432505-92-5P, (R)-N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-phenylpropionamide 432505-93-6P, 2-Bromo-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-94-7P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[4-methylpiperazin-1-yl]acetamide 432505-95-8P, 2-[Bis[2-hydroxyethyl]amino]-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-96-9P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyrrolidin-1-ylacetamide 432505-97-0P, 2-Benzylamino-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-98-1P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[4-phenylpiperidin-1-yl]propionamide 432505-99-2P, 3-[3,4-Dihydro-1H-isoquinolin-2-yl]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-00-8P, 3-[2,5-Dihydropyrrol-1-yl]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-01-9P, N-[9-Ethyl-9H-carbazol-3-yl]-3-indol-1-ylpropionamide 432506-02-0P, 3-Diphenylamino-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-03-1P, 3-[5-Chloroquinolin-8-yloxy]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-04-2P, 3-Carbazol-9-yl-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-05-3P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[4-[[piperidin-1-yl]methyl]phenoxy]propionamide 432506-06-4P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[N-methyl-N-[1,2,3,4-tetrahydronaphthalen-2-yl]amino]propionamide 432506-07-5P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[quinolin-7-yloxy]propionamide 432506-08-6P, N-[9-Ethyl-9H-carbazol-3-yl]-3-pyrrolidin-1-ylpropionamide 432506-09-7P, 2-[4-[4-Chlorophenyl]-4-hydroxypiperidin-1-yl]-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432506-10-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[4-hydroxy-4-phenylpiperidin-1-yl]acetamide 432506-11-1P, N-[9-Isopropyl-9H-carbazol-3-yl]-3-[4-phenylpiperidin-1-yl]propionamide 432506-12-2P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[4-hydroxy-4-phenylpiperidin-1-yl]propionamide 432506-13-3P, 3-[1,4']Bipiperidinyl-1'-yl-N-[9-ethyl-9H-carbazol-3-

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ethyl-9H-carbazol-3-yl]acetamide 432506-57-5P,
N-[9-Isopropyl-9H-carbazol-3-yl]acetamide
(target drug; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
IT 433575-54-3, 1: PN: US6399631 PAGE: 20 unclaimed DNA
(unclaimed nucleotide sequence; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
IT 433575-55-4
(unclaimed protein sequence; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; WO 0063171 2000 HCAPLUS
(2) Anon; WO 0107409 2001 HCAPLUS
(3) Berger; US 3896145 A 1975 HCAPLUS
(4) Harrington; US 3642817 A 1972 HCAPLUS

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L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:905618 HCAPLUS
DOCUMENT NUMBER: 141:379938
TITLE: Preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compounds as cannabinoid receptor ligands
INVENTOR(S): Griffith, David A.; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214837	A1	20041028	US 2004-822975	20040412
CA 2520842	AA	20041111	CA 2004-2520842	20040420
WO 2004096801	A1	20041111	WO 2004-IB1418	20040420

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1622904	A1	20060208	EP 2004-728385	

					2004 0420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004009634	A	20060425	BR 2004-9634		2004 0420
NL 1026030	A1	20041101	NL 2004-1026030		2004 0423
NL 1026030	C2	20050705			
PRIORITY APPLN. INFO.:			US 2003-464918P	P	2003 0423
			US 2004-540048P	P	2004 0129
			WO 2004-IB1418	W	2004 0420

OTHER SOURCE(S): MARPAT 141:379938

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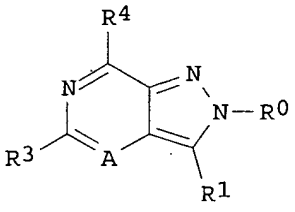

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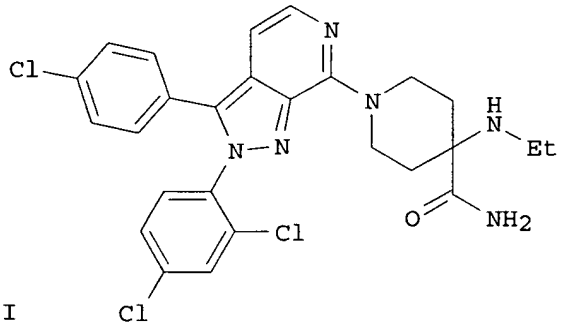


II

AB The title compds. I [A = N, CR2 (wherein R2 = H, alkyl, haloalkyl, alkoxy); R0, R1 = (un)substituted (hetero)aryl; R3 = H, alkyl, haloalkyl, alkoxy; R4 = (un)substituted pyrrolidino, piperidino, piperazino, etc.] that act as cannabinoid receptor ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared Thus, reacting 7-chloro-3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridine with 4-ethylaminopiperidine-4-carboxylic acid amide (prepns. given) afforded 78% II. All the exemplified compds. (over 190) were tested in the CB-1 receptor binding assay and showed a range of binding activities from 0.2 nM to 1.6 μM. The pharmaceutical composition comprising the compound I is claimed.

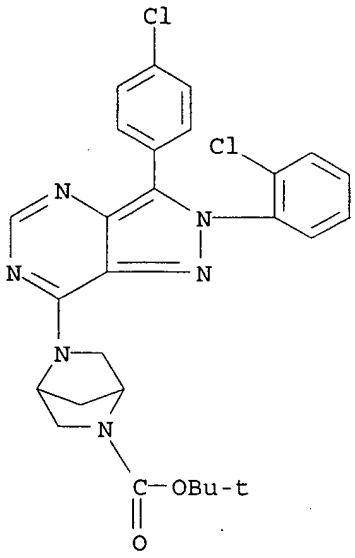
IT **784207-12-1P**

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a

cannabinoid receptor antagonist)

RN 784207-12-1 HCAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D471-02
ICS A61K031-519; A61K031-4745

INCL 514262100; 514303000; 544262000; 546118000; 514252160; 514253040

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **784207-12-1P** 784208-08-8P **784208-41-9P**
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT **784206-58-2P** **784206-59-3P** 784206-60-6P
784206-61-7P **784206-62-8P** **784206-63-9P**
784206-64-0P **784206-65-1P** 784206-66-2P
784206-67-3P 784206-68-4P 784206-69-5P 784206-70-8P
784206-71-9P 784206-72-0P 784206-73-1P 784206-74-2P
784206-75-3P **784206-76-4P** 784206-77-5P 784206-78-6P
784206-79-7P **784206-80-0P** **784206-81-1P**
784206-82-2P **784206-83-3P** 784206-84-4P
784206-85-5P 784206-86-6P **784206-87-7P**
784206-88-8P 784206-89-9P **784206-90-2P**
784206-91-3P **784206-92-4P** **784206-93-5P**
784206-94-6P 784206-95-7P **784206-96-8P** 784206-97-9P
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784207-02-9P 784207-03-0P **784207-04-1P** 784207-05-2P
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784207-15-4P **784207-16-5P** **784207-17-6P**
784207-18-7P **784207-19-8P** **784207-20-1P**
784207-21-2P 784207-22-3P 784207-23-4P
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784207-28-9P **784207-29-0P** 784207-30-3P 784207-31-4P
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784207-35-8P 784207-36-9P 784207-37-0P **784207-38-1P**
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784207-51-8P 784207-52-9P 784207-53-0P
784207-54-1P **784207-55-2P** 784207-56-3P 784207-57-4P
784207-58-5P **784207-59-6P** 784207-60-9P 784207-61-0P
784207-62-1P 784207-63-2P **784207-64-3P**
784207-65-4P 784207-66-5P 784207-67-6P
784207-68-7P 784207-69-8P **784207-70-1P**
784207-71-2P 784207-72-3P **784207-73-4P** 784207-74-5P
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784208-32-8P **784208-33-9P** 784208-34-0P
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784208-72-6P 784208-73-7P 784208-74-8P
784208-75-9P 784208-76-0P 784208-77-1P
784208-78-2P
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT 1024-16-4P 1027-91-4P 33420-52-9P 40320-60-3P 84100-54-9P
138650-21-2P 569679-56-7P 686344-58-1P 686344-61-6P
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686344-71-8P 686344-72-9P 736994-08-4P 736994-10-8P
784192-14-9P 784193-05-1P 784193-06-2P 784193-07-3P
784193-08-4P **784193-10-8P** 784193-12-0P 784193-13-1P
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784208-55-5P 784208-56-6P
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

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E384 THROUGH E497 ASSIGNED

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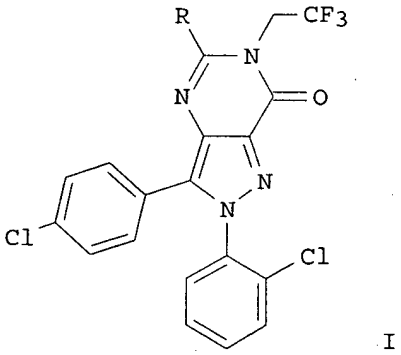
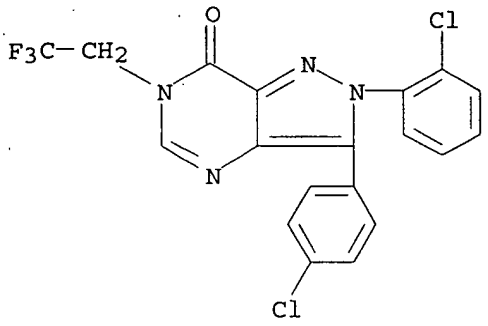
L10 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1341997 HCAPLUS
DOCUMENT NUMBER: 144:233032
TITLE: New bicyclic cannabinoid receptor-1 (CB1-R) antagonists
AUTHOR(S): Carpino, Philip A.; Griffith, David A.; Sakya, Subas; Dow, Robert L.; Black, Shawn C.; Hadcock, John R.; Iredale, Philip A.; Scott, Dennis O.; Fichtner, Michael W.; Rose, Colin R.; Day, Robert; Dibrino, Joseph; Butler, Mary; DeBartolo, Demetria B.; Dutcher, Darrin; Gautreau, Denise; Lizano, Jeff S.; O'Connor, Rebecca E.; Sands, Michelle A.; Kelly-Sullivan, Dawn; Ward, Karen M.
CORPORATE SOURCE: Pfizer Global Research and Development-Groton Laboratories, Groton, CT, 06340, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(3), 731-736
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of conformationally constrained bicyclic derivs. derived from SR141716 was prepared and evaluated as hCB1-R antagonists and inverse agonists. Optimization of the structure-activity relationships around the 2,6-dihydro-pyrazolo[4,3-d]pyrimidin-7-one derivative led to the identification of I [R = H, Me] with oral activity in rodent feeding models. Replacement of the PP group in I [R = H] with other bicyclic groups resulted in a loss of binding affinity.

IT **784192-17-2P**
(preparation of pyrazolopyrimidines as cannabinoid receptor-1 (CB1-R) antagonists)
RN 784192-17-2 HCAPLUS
CN. 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-2,6-dihydro-6-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT **784192-17-2P** 784192-19-4P **784192-20-7P**
784192-21-8P **784192-22-9P** **784192-23-0P**
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876405-87-7P **876405-88-8P** 876405-89-9P
876405-90-2P
(preparation of pyrazolopyrimidines as cannabinoid receptor-1 (CB1-R) antagonists)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:905623 HCAPLUS
DOCUMENT NUMBER: 141:379924
TITLE: Preparation of bicyclic pyrazolyl and imidazolyl compounds as cannabinoid receptor ligands
INVENTOR(S): Carpino, Philip A.; Dow, Robert L.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214855	A1	20041028	US 2004-823107	2004 0412
AU 2004232553	A1	20041104	AU 2004-232553	2004 0420
CA 2523364	AA	20041104	CA 2004-2523364	2004 0420
WO 2004094429	A1	20041104	WO 2004-IB1482	2004 0420

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY,
CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1622909	A1	20060208	EP 2004-728383	2004 0420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
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CN 1777605	A	20060524	CN 2004-80010682	2004 0420
NL 1026028	A1	20041027	NL 2004-1026028	2004 0423
NL 1026028	C2	20050705		
NO 2005004407	A	20051215	NO 2005-4407	2005 0922
US 2006205948	A1	20060914	US 2006-433171	2006 0512
US 2006205720	A1	20060914	US 2006-433848	2006 0512

PRIORITY APPLN. INFO.:	US 2003-464831P	P	2003 0423
	US 2004-823107	A3	2004 0412
	WO 2004-IB1482	W	2004 0420

OTHER SOURCE(S): MARPAT 141:379924
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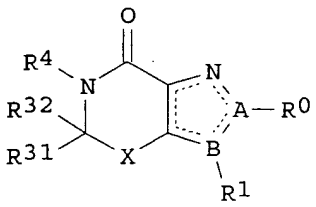

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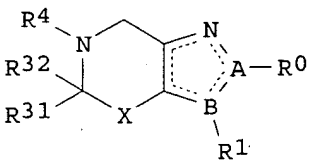
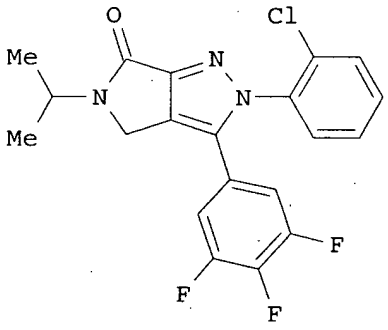


II



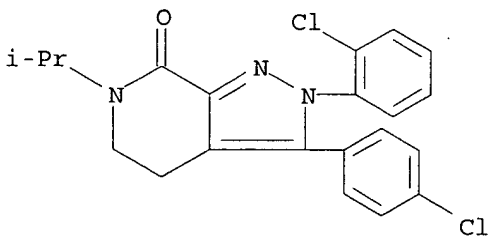
III

AB The title compds. I or II [A = N and B = C, or A = C and B = N; R0 = (un)substituted (hetero)aryl; R1 = (un)substituted (hetero)aryl, CH:CHR1a, CH2CH2R1a (wherein R1a = H, alkyl, (un)saturated carbocyclyl, heterocyclyl, etc.); X = a bond, (un)substituted CH2; R31, R32 = H, alkyl, haloalkyl; R4 = alkyl, aryl, heteroaryl, etc.] that act as cannabinoid receptor ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared Thus, reacting 3-bromo-2-(2-chlorophenyl)-5-isopropyl-4,5-dihydro-2H-pyrrolo[3,4-c]pyrazol-6-one (preparation given) with 3,4,5-trifluorophenylboronic acid afforded III. All the exemplified compds. I (over 100) were tested in the CB-1 receptor binding assay and provided a range of binding activities from 0.6 nM - 2500 nM. The pharmaceutical composition comprising the compound I or II is claimed.

IT **784180-46-7P**
(preparation of bicyclic pyrazolyl and imidazolyl compds. for treating disorders modulated by a cannabinoid receptor antagonist)

RN 784180-46-7 HCAPLUS

CN 7H-Pyrazolo[3,4-c]pyridin-7-one, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-2,4,5,6-tetrahydro-6-(1-methylethyl)- (9CI) (CA INDEX NAME)



IC ICM C07D471-02
ICS A61K031-4745
INCL 514303000; X54-611.8
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 784180-10-5P 784180-11-6P 784180-12-7P 784180-13-8P
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784180-47-8P 784180-48-9P 784180-49-0P
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784180-56-9P 784180-57-0P **784180-58-1P**
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784181-39-1P 784181-40-4P
(preparation of bicyclic pyrazolyl and imidazolyl compds. for treating disorders modulated by a cannabinoid receptor antagonist)

L10 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:905619 HCAPLUS
DOCUMENT NUMBER: 141:379939
TITLE: Preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compounds as cannabinoid receptor ligands
INVENTOR(S): Carpino, Philip A.; Griffith, David A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214838	A1	20041028	US 2004-822988	2004 0412
CA 2523205	AA	20041104	CA 2004-2523205	

					2004 0413
WO 2004094417		A1	20041104	WO 2004-IB1262	
					2004 0413

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NL 1026029		A1	20041027	NL 2004-1026029	
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PRIORITY APPLN. INFO.:				US 2003-464916P	P
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OTHER SOURCE(S): MARPAT 141:379939

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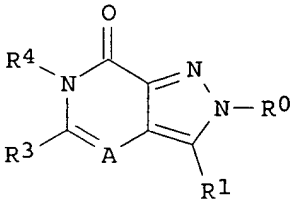

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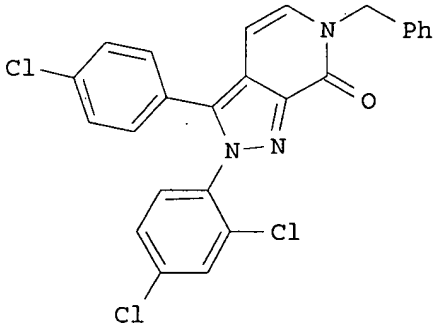


II

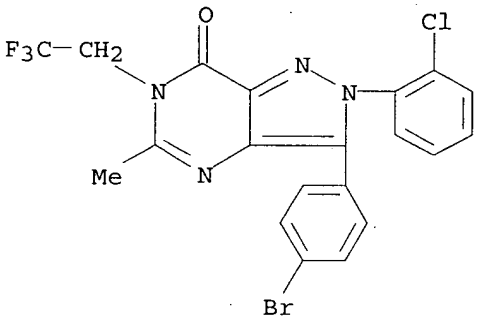
AB The title compds. I [A = N, CR2 (wherein R2 = H, alkyl, haloalkyl, alkoxy); R0, R1 = (un)substituted (hetero)aryl; R3 = H, (un)substituted alkyl, alkoxy; R4 = alkyl, aryl, heteroaryl, etc.] that act as cannabinoid receptor ligands and therefore are useful

in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared E.g., a 2-step synthesis of II, starting from 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-1H-pyrazole-3-carboxylic acid, was given. All the exemplified compds. were tested in the CB-1 receptor binding assay and provided a range of binding activities from 0.09 to 453 nM. The pharmaceutical composition comprising the compound I is claimed.

IT **784192-71-8P**
(preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor ligands)

RN 784192-71-8 HCAPLUS

CN 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 3-(4-bromophenyl)-2-(2-chlorophenyl)-2,6-dihydro-5-methyl-6-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



IC ICM A61K031-519
ICS C07D487-02; C07D471-02; A61K031-4745

INCL 514262100; 514303000; 544262000; 546119000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 784192-16-1P **784192-71-8P** 784192-74-1P **784192-89-8P**
(preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor ligands)

IT 784192-14-9P 784192-15-0P **784192-17-2P** **784192-18-3P** 784192-19-4P **784192-20-7P** **784192-21-8P** **784192-22-9P** **784192-23-0P** **784192-24-1P** **784192-25-2P** **784192-26-3P** **784192-27-4P** **784192-28-5P** **784192-29-6P** 784192-30-9P **784192-31-0P** **784192-32-1P** **784192-33-2P** **784192-34-3P** **784192-35-4P** **784192-36-5P** **784192-37-6P** **784192-39-8P** **784192-40-1P** **784192-41-2P** **784192-42-3P** **784192-43-4P** **784192-44-5P** **784192-45-6P** **784192-46-7P** **784192-47-8P** **784192-48-9P** **784192-49-0P** **784192-50-3P** **784192-51-4P** **784192-52-5P** **784192-53-6P** **784192-54-7P** **784192-55-8P** **784192-56-9P** **784192-57-0P** **784192-58-1P** **784192-59-2P** **784192-60-5P** **784192-61-6P** **784192-62-7P** **784192-63-8P** **784192-64-9P** **784192-65-0P** **784192-66-1P** **784192-67-2P** **784192-68-3P** **784192-69-4P** **784192-70-7P** **784192-72-9P** **784192-73-0P** **784192-75-2P** **784192-76-3P** **784192-77-4P**

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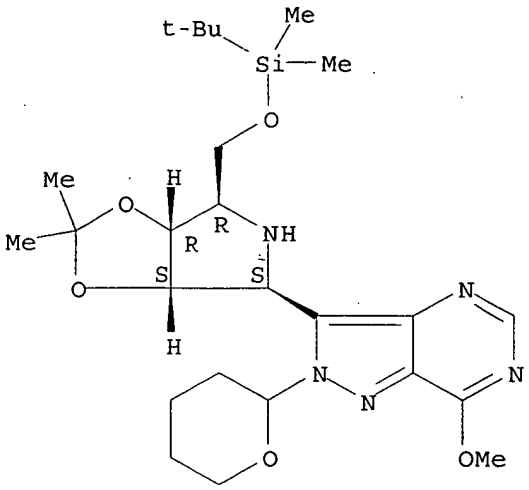
784193-04-0P **784193-21-1P 784193-22-2P**
784193-23-3P 784193-24-4P 784193-25-5P
784193-26-6P
(preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor ligands)

IT 33420-52-9P 68520-81-0P 569679-56-7P 676343-48-9P
784193-05-1P 784193-06-2P 784193-07-3P 784193-08-4P
784193-09-5P **784193-10-8P** 784193-11-9P 784193-12-0P
784193-13-1P 784193-14-2P 784193-15-3P 784193-16-4P
784193-17-5P 784193-18-6P
(preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor ligands)

L10 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:147157 HCAPLUS
DOCUMENT NUMBER: 140:321638
TITLE: Imino-C-nucleoside Synthesis: Heteroaryl Lithium Carbanion Additions to a Carbohydrate Cyclic Imine and Nitrone
AUTHOR(S): Evans, Gary B.; Furneaux, Richard H.; Hausler, Herwig; Larsen, Janus S.; Tyler, Peter C.
CORPORATE SOURCE: Carbohydrate Chemistry, Industrial Research Limited, Lower Hutt, N. Z.
SOURCE: Journal of Organic Chemistry (2004), 69(6), 2217-2220
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:321638
AB Promotion by Lewis acid of the addition of some aryllithiums to a carbohydrate-based imine, which has allowed a more facile synthesis of some imino-C-nucleoside analogs, is described. Use of the corresponding nitrone does not assist in some cases, but lithiated acetonitrile adds to it efficiently to give a product from which further C-nucleoside analogs can be derived.
IT **607389-23-1P**
(synthesis of imino-C-nucleosides via heteroaryl lithium carbanion addns. to a carbohydrate cyclic imine and nitrone)
RN 607389-23-1 HCAPLUS
CN 2H-Pyrazolo[4,3-d]pyrimidine, 3-[(3aS,4S,6R,6aR)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2,2-dimethyl-4H-1,3-dioxolo[4,5-c]pyrrol-4-yl]-7-methoxy-2-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 33-9 (Carbohydrates)
IT 222631-09-6P 364046-18-4P 588718-80-3P **607389-23-1P**
678981-72-1P
(synthesis of imino-C-nucleosides via heteroaryl lithium carbanion addns. to a carbohydrate cyclic imine and nitrone)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:777802 HCAPLUS
DOCUMENT NUMBER: 139:277119
TITLE: Preparation of C-nucleosides as inhibitors of nucleoside phosphorylases and nucleosidases
INVENTOR(S): Furneaux, Richard Hubert; Schramm, Vern L.; Tyler, Peter Charles; Evans, Gary Brian
PATENT ASSIGNEE(S): Industrial Research Limited, N. Z.; Albert Einstein College of Medicine of Yeshiva University
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080620	A1	20031002	WO 2003-NZ50	2003 0325

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US 2004110772 A1 20040610 US 2003-395636 2003 0324

US 7098334 B2 20060829

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AU 2003215969 A1 20031008 AU 2003-215969 2003 0325

EP 1490373 A1 20041229 EP 2003-745042 2003 0325

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005527544 T2 20050915 JP 2003-578374 2003 0325

PRIORITY APPLN. INFO.: NZ 2002-517970 A 2002 0325

WO 2003-NZ50 W 2003 0325

OTHER SOURCE(S): MARPAT 139:277119

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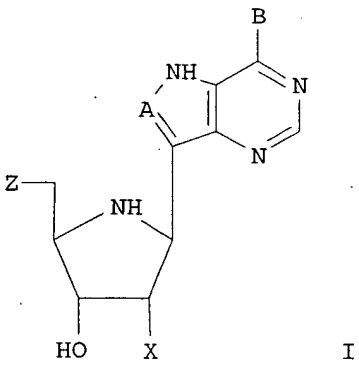


I

AB The present invention relates to compds. of the general formula I, where R is selected from halogen, optionally substituted alkyl, aralkyl and aryl, OH, NH2, NHR1, NR1R2 and SR3, where R1-R3 are each optionally substituted alkyl, aralkyl or aryl groups; B is selected from NH2 and NHR4, where R4 is an optionally substituted alkyl, aralkyl or aryl group; X is selected from H, OH and halogen; and Z is selected from H, Q, SQ and OQ, where Q is an optionally substituted alkyl, aralkyl or aryl group; or a tautomer thereof; or a pharmaceutically acceptable salt thereof; or an

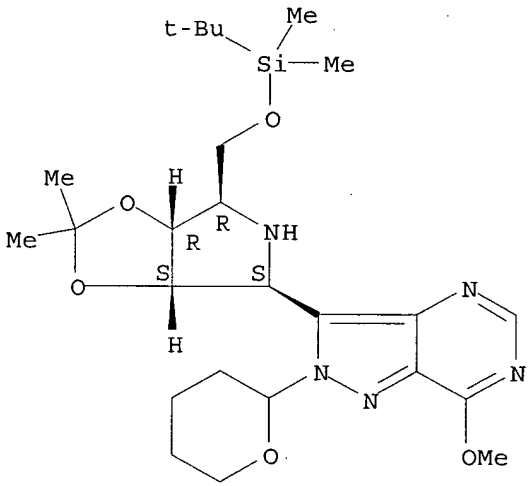
ester thereof; or a prodrug thereof; with the proviso that the stereochem. of the aza-sugar moiety is D-ribo- or 2'-deoxy-D-erythro-, which are inhibitors of 5'-methylthioadenosine phosphorylases and 5'-methylthioadenosine nucleosidases (MTAP and MTAN), the invention also relates to the use of these compds. in treatment of diseases and infections including cancer, bacterial infections and parasitic infections, and to pharmaceutical compns. containing the compds. Thus, I (X = OH, Z = SPh, A = CH, B = NH2) was prepared and tested in vitro as MTAP and MTAN inhibitor (Ki = 46 ± 3 pM and 890.0 ± 120 pM resp.).

IT **607389-23-1P**
(preparation of C-nucleosides as inhibitors of nucleoside phosphorylases and nucleosidases)

RN 607389-23-1 HCAPLUS

CN 2H-Pyrazolo[4,3-d]pyrimidine, 3-[(3aS,4S,6R,6aR)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2,2-dimethyl-4H-1,3-dioxolo[4,5-c]pyrrol-4-yl]-7-methoxy-2-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D487-04
ICS A61K031-519

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 10, 63

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607389-20-8P 607389-22-0P **607389-23-1P**
607389-24-2P 607389-25-3P 607389-26-4P
607389-28-6P 607389-29-7P 607389-30-0P 607389-42-4P
607389-43-5P 607389-44-6P
(preparation of C-nucleosides as inhibitors of nucleoside phosphorylases and nucleosidases)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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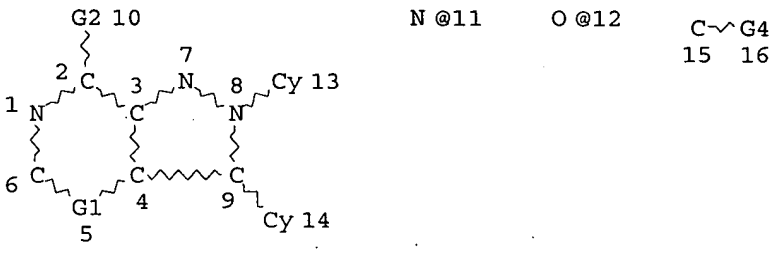


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L16  ANSWER 1 OF 5  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         143:387058  MARPAT
TITLE:                    Preparation of substituted
                          pyrazolo[4,3-d]pyrimidines as inhibitors of
                          phosphodiesterase V
INVENTOR(S):              Bell, Andrew Simon; Brown, David Graham; Fox,
                          David Nathan Abraham; Lu, Hwang-Fun; Marsh,
                          Ian Roger; Morrell, Andrew Ian; Owen, Dafydd
                          Rhys; Palmer, Michael John; Rogers, Thomas
                          Edward; Windslow, Carol Ann
PATENT ASSIGNEE(S):       Pfizer Limited, UK; Pfizer Inc.
SOURCE:                   PCT Int. Appl., 182 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 2005097799      A1   20051020       WO 2005-IB891    20050330
     WO 2005097799      C1   20060216
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PRIORITY APPLN. INFO.:

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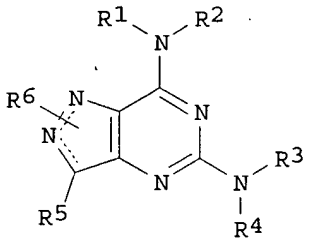

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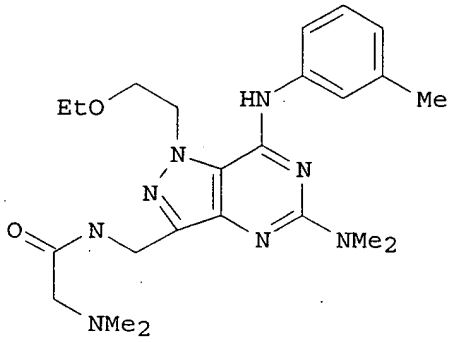

II

AB Title compds. I [R1 = cycloalkyl, cycloalkenyl, 5-6 membered heteroarom. ring, etc.; R2 = H, alkyl; R3-4 = alk(en/yn)yl, cycloalkyl, etc.; R5 = amino, alkylenylamino, etc.; R6 = alkyl, haloalkyl, alkenyl, alkynyl, etc.] are prepared For instance II is prepared in 12 steps from di-Me 4-nitro-1H-pyrazole-3,5-dicarboxylate, 2-ethoxyethyl bromide, 2-amino-4-methylpyridine, dimethylaminoacetic acid and dimethylamine. II has an IC50 = 1.12 for phosphodiesterase 5 (PDE5). I are useful for the treatment of diabetes and hypertension.

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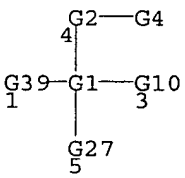

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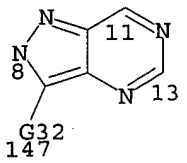

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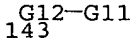

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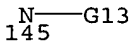

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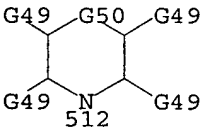

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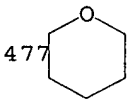

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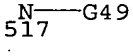

Patent location: claim 1
Note: or tautomers, pharmaceutically acceptable salts, solvates or polymorphs

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:26627 MARPAT
TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines with phosphodiesterase-5 (PDE5) inhibiting activity

INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Dack, Kevin Neil; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049616	A1	20050602	WO 2004-IB3747	20041112
WO 2005049616	C1	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004290643	A1	20050602	AU 2004-290643	20041112
CA 2546987	AA	20050602	CA 2004-2546987	20041112
EP 1689751	A1	20060816	EP 2004-798876	20041112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
NL 1027568	A1	20050526	NL 2004-1027568	20041123
NL 1027568	C2	20051130		
US 2005245544	A1	20051103	US 2004-997191	20041124
PRIORITY APPLN. INFO.:			GB 2003-27319	20031124
			US 2004-535797P	20040112
			WO 2004-IB3747	20041112

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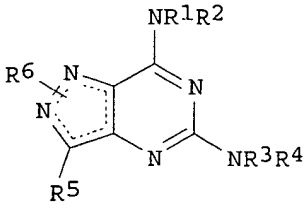

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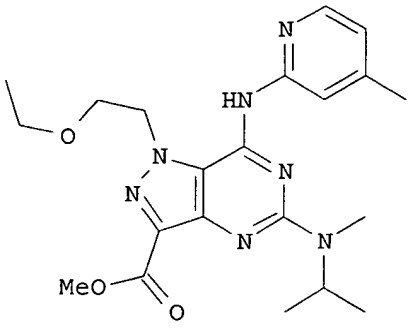


II

AB Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO2R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH2OCH2, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared Thus, title compound (II) (preparation given) inhibited PDE-5 with IC50 = 0.075 nM.

MSTR 1

G39—G1
1

G1 = 26

G2—G48—G4
26 4

G2 = 2

G27—G10
2 3
|
G33
5

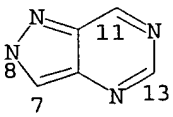
G10 = 143

G12—G11
143

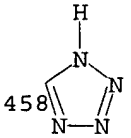
G12 = 145

N——G13
145

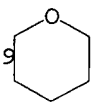
G13 = Et
G27 = 8-1 7-5 11-4 13-3



G33 = 458



G39 = 379

379 

G48 = NH
Patent location: claim 1
Note: or tautomers, pharmaceutically acceptable salts or solvates
Note: also incorporates claims 69 and 71

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:311220 MARPAT
TITLE: Preparation of pyrazolo[4,3-d]pyrimidin-7-ones as phosphodiesterase inhibitors
INVENTOR(S): Allerton, Charlotte Moira Norfor; Barber, Christopher Gordon; Maw, Graham Nigel; Rawson, David James
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer, Inc.
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027112	A1	20010419	WO 2000-IB1430	20001004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2387353	AA	20010419	CA 2000-2387353	20001004
BR 2000014695	A	20020618	BR 2000-14695	20001004
EP 1222190	A1	20020717	EP 2000-964557	20001004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200954	T2	20020923	TR 2002-954	20001004
JP 2003511452	T2	20030325	JP 2001-530330	20001004
EE 200200192	A	20030616	EE 2002-192	20001004
NZ 517324	A	20030926	NZ 2000-517324	20001004
US 6756373	B1	20040629	US 2000-684228	20001006
US 2002038024	A1	20020328	US 2001-916099	20010726
US 6809200	B2	20041026		
ZA 2001006161	A	20030127	ZA 2001-6161	20010726

BG 106568	A	20021229	BG 2002-106568	20020402
ZA 2002002723	A	20030408	ZA 2002-2723	20020408
NO 2002001695	A	20020607	NO 2002-1695	20020410
US 2005143367	A1	20050630	US 2004-934031	20040903
PRIORITY APPLN. INFO.:			GB 1999-24041	19991011
			GB 2000-18660	20000728
			US 2000-231411P	20000908
			WO 2000-IB1430	20001004
			US 2001-276532P	20010316
			GB 2001-7526	20010326
			GB 2001-10251	20010426
			US 2001-292378P	20010521
			US 2001-916099	20010726

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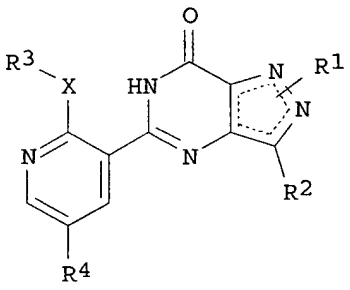

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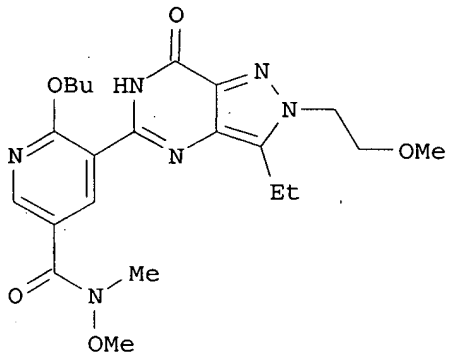
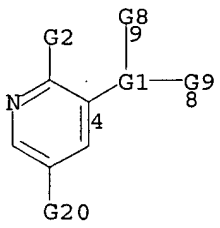


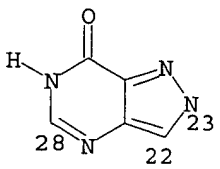
II

AB The title compds. [I; X = O, NR5; R1 = H, alkyl, Het, etc.; R2 = H, halo, CN, etc.; R3 = H, alkyl, alkylHet, etc.; R4 = H, halo, CN, etc.; R5 = H, alkyl], useful in the curative and prophylactic treatment of a medical condition for which inhibition of a cyclic guanosine 3',5'-monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired such as male erectile dysfunction, were prepared and formulated. E.g., a multi-step synthesis of the pyrazolo[4,3-d]pyrimidin-7-one II which showed IC50 of 8.5 nM against cGMP PDE5, was given. The compds. I were found to have in vitro activities as inhibitors of cGMP PDE5 with IC50 of < about 100 nM.

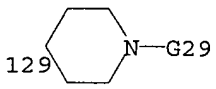
MSTR 1



G1 = 28-4 23-9 22-8



G8 = 129



G9 = Ph
G20 = COMe
Patent location: claim 1
Note: or pharmaceutically or veterinarily acceptable salts and/or solvates
Note: further derivatization also claimed
Note: substitution is restricted
Note: also incorporates claim 22

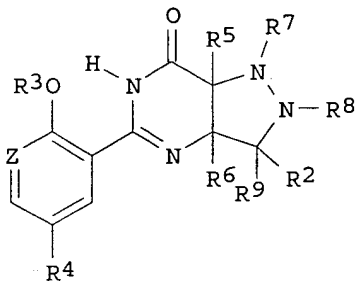
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:308353 MARPAT
TITLE: Preparation of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors
INVENTOR(S): Bunnage, Mark Edward; Maw, Graham Nigel; Rawson, David James; Wood, Anthony; Mathias, John Paul; Street, Stephen Derek Albert
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024745	A1	20000504	WO 1999-IB1706	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347950	AA	20000504	CA 1999-2347950	19991019
CA 2347950	C	20050503		
AU 9959956	A1	20000515	AU 1999-59956	19991019

BR 9915532	A	20010814	BR 1999-15532	19991019
EP 1123296	A1	20010816	EP 1999-970992	19991019
EP 1123296	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528456	T2	20020903	JP 2000-578315	19991019
AT 250063	E	20031015	AT 1999-970992	19991019
PT 1123296	T	20031231	PT 1999-970992	19991019
ES 2205945	T3	20040501	ES 1999-970992	19991019
US 6333330	B1	20011225	US 1999-426554	19991022
PRIORITY APPLN. INFO.:			GB 1998-23101	19981023
			GB 1998-23102	19981023
			WO 1999-IB1706	19991019

GI



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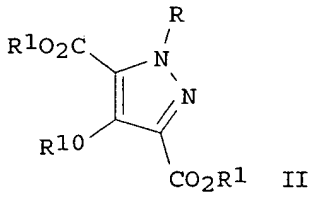
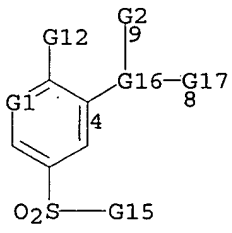


II

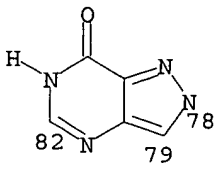
AB Title compds. [I; R2 = CONH2, CO2H, alkoxycarbonyl, (acyl)amino, etc.; R3 = H or (un)substituted alkyl; R4 = SO2NR14R15; R5R6 and R8R9 = bond and R7 = H, alkyl, heterocyclyl, aryl, etc.; R5R7 and R6R9 = bond and R8 = H, alkyl, heterocyclyl, aryl, etc.; NR14R15 = heterocyclyl; Z = CH or N] were prepared for treatment of sexual dysfunction. Thus, pyrazole-3,5-dicarboxylic acid was nitrated and the product esterified to give pyrazolecarboxylate II (R = H, R1 = Me, R10 = NO2) which was N-alkylated by 2-chloromethylpyridine and the reduced product amidated by 2-(PrO)C6H4COCl to give II [R = 2-pyridylmethyl, R1 = Me, R10 = NHCOC6H4(OPr)-2]. The latter was heated with NH3 at 100° to give I (R2 = CONH2, R3 = Pr, R5R6,R8R9 = bond, R7 = 2-pyridylmethyl)(III; R4 = H) which was converted to III (R4 = 4-methyl-1-pyrazinylsulfonyl). Data for biol. activity of I were given.

MSTR 1



G2 = Ph
G13 = carbon chain <containing 1-6 C,

0 or more double bonds, 0 or more triple bonds>
(opt. substd. by 1 or more G14)
G14 = CHO
G16 = 82-4 79-8 78-9



G17 = 116 / Ph

C(O)-G20
116

G20 = 118

HN——G13
118

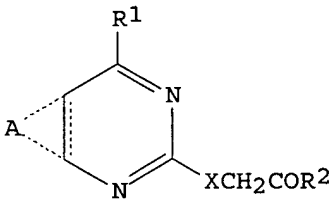
Derivative: or pharmaceutically or veterinarily acceptable derivatives
Patent location: claim 1
Note: substitution is restricted
Note: cycloaliphatic moieties and aliphatic moieties with oxygen atom interruption(s) also claimed
Note: also incorporates formulas VIIIA and VIIIB, claim 15

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

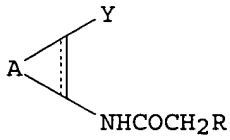
L16 ANSWER 5 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 118:147575 MARPAT
TITLE: Preparation of (arenopyrimidinylthio)acetates and analogs
INVENTOR(S): Gewald, Karl; Schaefer, Harry; Jeschke, Torsten; Eckert, Katrin; Faust, Gottfried; Laban, Gunter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden GmbH, Germany
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4119767	A1	19921217	DE 1991-4119767	19910615

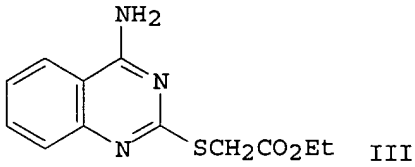
PRIORITY APPLN. INFO.: DE 1991-4119767 19910615
OTHER SOURCE(S): CASREACT 118:147575
GI



I



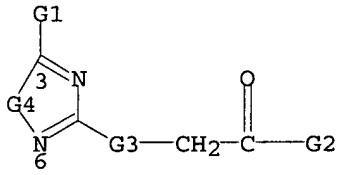
II



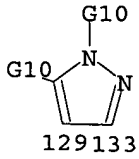
III

AB Title compds. [I; A = atoms to complete a (substituted) aromatic or heterocyclic ring; R1 = NH2, OH; R2 = OH, alkoxy; X = Se, S; dashed lined = optional bond] were prepared by cyclocondensation of acetamidocyclic compds. II (R = halo, Y = cyano, alkoxycarbonyl) with SCN- or SeCN- in the presence of R2H. Thus, 2-(NC)C6H4NHCOCH2Cl was refluxed 3 h with KSCN in EtOH to give 69% title compound III.

MSTR 1B



G1 = NH2
G4 = 129-6 133-3



G10 = Ph (opt. substd. by 1 or more G9)
Patent location: claim 1